ABSTRACT

Various processes are disclosed for preparing protected epicatechin oligomers having (4β,8)-interflavan linkages. In one process, a tetra-*O*-protected epicatechin monomer or oligomer is coupled with a protected, C-4 activated epicatechin monomer in the presence of an acidic clay such as a mortmorillonite clay. In another process, a 5,7,3',4'-benzyl protected or a 3-acetyl-, 5,7,3',4'-benzyl protected epicatechin or catechin monomer or oligomer is reacted with 3-*O*-acetyl-4-[(2-benzothiazolyl)thio]-5,7,3',4'-tetra-*O*-benzylepicatechin in the presence of silver tetrafluoroborate. In another process, two 5,7,3',4'-benzyl protected epicatechin monomers activated with 2-(benzothiazolyl)thio groups at the C-4 positions are cross-coupled in the presence of silver tetrofluoroborate. A process is also disclosed for reacting an unprotected epicatechin or catechin monomer with 4-(benzylthio) epicatechin or catechin. The use of naturally-derived and synthetically-prepared procyanidin $(4\beta,8)_4$-pentamers to treat cancer is also disclosed.